What is claimed is:

The first property and property is compared from the first f

Sub /

1. A compound of formula

$$R^{61}$$
 $R^{62}$ 
 $R^{63}$ 
 $R^{63}$ 
 $R^{64}$ 
 $R^{65}$ 
 $R^{65}$ 
 $R^{65}$ 
 $R^{65}$ 

wherein W<sup>5</sup> and X<sup>5</sup> are independently selected from the group consisting of -CR<sup>1</sup>R<sup>2</sup>, -O-, -NR<sup>3</sup>, -S-, and -Se; Y<sup>5</sup> is selected from the group consisting of -(CH<sub>2</sub>)<sub>a</sub>-CONH-Bm, -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-CONH-Bm,  $-(CH_2)_a$ -NHCO-Bm,  $-CH_2$ - $(CH_2OCH_2)_b$ - $CH_2$ -NHCO-Bm,  $-(CH_2)_a$ - $N(R^3)$ -5  $(CH_2)_b$ -CONH-Bm,  $(CH_2)_a$ -N(R<sup>3</sup>)- $(CH_2)_c$ -NHCO-Bm, - $(CH_2)_a$ -N(R<sup>3</sup>)-CH<sub>2</sub>- $(CH_2OCH_2)_b$ - $CH_2$ -CONH-Bm,  $-(CH_2)_a$ - $N(R^3)$ - $CH_2$ - $(CH_2OCH_2)_b$ - $CH_2$ -NHCO-Bm,  $-CH_2-(CH_2OCH_2)_b-CH_2-N(R^3)-(QH_2)_a-CONH-Bm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-(CH_2O$  $CH_2-N(R^3)-(CH_2)_a-NHCO-Bm$ ,  $-CH_2-(CH_2)_b-CH_2-N(R^3)-CH_2 (CH_2OCH_2)_d$ -CONH-Bm,  $-CH_2$ - $(CH_2OCH_2)_b$ - $CH_2$ - $N(R^3)$ - $CH_2$ - $(CH_2OCH_2)_d$ -10 NHCO-Bm, -(CH<sub>2</sub>)<sub>a</sub>-NR<sup>3</sup>R<sup>4</sup>, and -CH<sub>2</sub>(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>NR<sup>3</sup>R<sup>4</sup>; Z<sup>5</sup> is selected from the group consisting of -(CH<sub>2</sub>)<sub>a</sub>-CONH-Dm, -CH<sub>2</sub>- $(CH_2OCH_2)_b$ - $CH_2$ -CONH-Dm,  $-(CH_2)_a$ -N+CO-Dm,  $-CH_2$ - $(CH_2OCH_2)_b$ - $CH_2$ --NHCO-Dm,  $-(CH_2)_a - N(R^3) - (CH_2)_b - CON H - Dm$ ,  $(CH_2)_a - N(R^3) - (CH_2)_c - NHCO-$ Dm,  $-(CH_2)_a - N(R^3) - CH_2 - (CH_2OCH_2)_b - CH_2 - CONH - Dm, -(CH_2)_a - N(R^3) - CH_2 - CONH - Dm, -(CH_2)_a - N(R^3) - CH_2 - CONH - Dm, -(CH_2)_a - N(R^3) - CH_2 - CONH - Dm, -(CH_2)_a - N(R^3) - CH_2 - CONH - Dm, -(CH_2)_a - N(R^3) - CH_2 - CONH - Dm, -(CH_2)_a - N(R^3) - CH_2 - CONH - Dm, -(CH_2)_a - N(R^3) - CH_2 - CONH - Dm, -(CH_2)_a - N(R^3) - CH_2 - CONH - Dm, -(CH_2)_a - N(R^3) - CH_2 - CONH - Dm, -(CH_2)_a - N(R^3) - CH_2 - CONH - Dm, -(CH_2)_a - N(R^3) - CH_2 - CONH - Dm, -(CH_2)_a - N(R^3) - CH_2 - CONH - Dm, -(CH_2)_a - N(R^3) - CH_2 - CONH - Dm, -(CH_2)_a - N(R^3) - CH_2 - CONH - Dm, -(CH_2)_a - N(R^3) - CH_2 - CONH - Dm, -(CH_2)_a - N(R^3) - CH_2 - CONH - Dm, -(CH_2)_a - N(R^3) - CH_2 - CONH - Dm, -(CH_2)_a - N(R^3) - CH_2 - CONH - Dm, -(CH_2)_a - N(R^3)_a - CH_2 - CONH - Dm, -(CH_2)_a - N(R^3)_a - CH_2 - CONH - Dm, -(CH_2)_a - N(R^3)_a - CH_2 - CONH - Dm, -(CH_2)_a - N(R^3)_a - CH_2 - CONH - Dm, -(CH_2)_a - CH_2 - CH_2 - CONH - Dm, -(CH_2)_a - CH_2 - CH_2 - CONH - Dm, -(CH_2)_a - CH_2 - CH_2$ 15 (CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-NHCO-Dm, -CH<sub>2</sub>-<math>(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-N(R<sup>3</sup>)-(CH<sub>2</sub>)<sub>a</sub>-CONH-Dm,  $-CH_2-(CH_2OCH_2)_b-CH_2-N(R^3)-(CH_2|_a-NHCO-Dm, -CH_2-(CH_2OCH_2)_b-CH_2-(CH_2OCH$ 

 $CH_2-N(R^3)-CH_2-(CH_2OCH_2)_d$  CONH-Dm,  $-CH_2-(CH_2OCH_2)_b-CH_2-N(R^3)-CH_2-(CH_2OCH_2)_b$  $CH_2$ - $(CH_2OCH_2)_d$ -NHCO- $Dm_{i_1}$ - $(CH_2)_a$ - $NR^3R^4$ , and  $-CH_2(CH_2OCH_2)_b$ -CH<sub>2</sub>NR<sup>3</sup>R<sup>4</sup>; A<sub>3</sub> is a single or a double bond; B<sub>3</sub>, C<sub>3</sub>, and D<sub>3</sub> are 20 independently selected from the group consisting of -O-, -S-, -Se-, -P-, -CR<sup>1</sup>R<sup>2</sup>, -CR<sup>1</sup>, alkyl, NR<sup>3</sup>, and -C = O; A<sub>3</sub>, B<sub>3</sub>, C<sub>3</sub>, and D<sub>3</sub> may together form a 6- to 12-membered carbocyclic ring or a 6- to 12-membered heterocyclic ring optionally containing one or more oxygen, nitrogen, or sulfur atom;  $a_5$  vary from 0 to 5;  $R^1$  to  $R^4$ , and  $R^{58}$  to  $R^{66}$  are 25 independently selected from the group consisting of hydrogen,  $C_1$ - $C_{10}$ alkyl,  $C_5$ - $C_{20}$  aryl,  $C_1$ - $C_{10}$  alk $\phi$ xyl,  $C_1$ - $C_{10}$  polyalkoxyalkyl,  $C_1$ - $C_{20}$ polyhydroxyalkyl,  $C_5$ - $C_{20}$  polyhydroxyaryl,  $C_1$ - $C_{10}$  aminoalkyl, cyano, nitro, halogen, saccharide, peptide, -CH2(CH2OCH2)b-CH2-OH, -(CH2)a- $CO_2H$ ,  $-(CH_2)_a$ -CONH-Bm,  $-\dot{Q}H_2$ - $(CH_2OCH_2)_b$ - $CH_2$ -CONH-Bm,  $-(CH_2)_a$ -30 NHCO-Bm,  $-CH_2-(CH_2OCH_2)$  -CH<sub>2</sub>-NHCO-Bm,  $-(CH_2)$ <sub>a</sub>-OH and  $-CH_2$ -(CH2OCH2)b-CO2H; Bm and Dm are independently selected from the group consisting of bioactive peptide, protein, cell, antibody, antibody fragment, saccharide, glycopeptide, peptidomimetic, drug, drug mimic, hormone, metal chelating agent, radioactive or nonradioactive metal 35 complex, and echogenic agent; a and c independently vary from 1 to 20; b and d independently vary from 1 to 100.

2. The compound of claim 1 wherein  $W^5$  and  $X^5$  are independently selected from the group consisting of  $-C(CH_3)_2$ ,  $-C((CH_2)_aOH)CH_3$ ,

The said of the standard of the said of th

The state of the s

 $-C((CH_2)_aOH)_2$ ,  $-C((CH_2)_aCO_2H)CH_3$ ,  $-C((CH_2)_aCO_2H)_2$ ,  $-C((CH_2)_aNH_2)CH_3 \ , \ C((CH_2)_aNH_2)_2 \ , \ C((CH_2)_aNR^3R^4)_2, \ -NR^3, \ and \ -S-; \ Y^5$ is selected from the group consisting of -(CH<sub>2</sub>)<sub>a</sub>-CONH-Bm, -CH<sub>2</sub>-5  $(CH_2OCH_2)_b$ - $CH_2$ -CONH-Bm,  $-(CH_2)_a$ -NHCO-Bm,  $-CH_2$ - $(CH_2OCH_2)_b$ - $CH_2$ -NHCO-Bm, -(CH<sub>2</sub>)<sub>a</sub>-NR<sup>3</sup>R<sup>4</sup>, and -CH<sub>2</sub>(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>NR<sup>3</sup>R<sup>4</sup>; Z<sup>5</sup> is selected from the group consisting of -(CH<sub>2</sub>)<sub>a</sub>-CONH-Dm, -CH<sub>2</sub>- $(CH_2OCH_2)_b$ - $CH_2$ -CONH- $Dm_1$ , - $(CH_2)_a$ -NHCO-Dm, - $CH_2$ - $(CH_2OCH_2)_b$ - $CH_2$ -NHCO-Dm,  $-(CH_2)_a$ -NR<sup>3</sup>R<sup>4</sup>, and  $-CH_2(CH_2OCH_2)_b$ -CH<sub>2</sub>NR<sup>3</sup>R<sup>4</sup>; A<sub>3</sub> is a 10 single or a double bond;  $B_3$ ,  $C_3$ , and  $D_3$  are independently selected from the group consisting of -O-, -S-, NR<sup>3</sup>, (CH2)<sub>a</sub> -CR<sup>1</sup>R<sup>2</sup>, and -CR<sup>1</sup>; A<sub>3</sub>, B<sub>3</sub>, C<sub>3</sub>, and D<sub>3</sub> may together form a 6- to 10-membered carbocyclic ring or a 6- to 10-membered heterdcyclic ring optionally containing one or more oxygen, nitrogen, or sulfur atom; a<sub>5</sub> vary from 0 to 3; R<sup>1</sup> to R<sup>4</sup>, 15 and R58 to R66 are independently selected from the group consisting of hydrogen,  $C_1$ - $C_{10}$  alkyl,  $C_5$ - $Q_{12}$  aryl,  $C_1$ - $C_{10}$  alkoxyl,  $C_1$ - $C_{10}$ polyhydroxyalkyl,  $C_5$ - $C_{12}$  polyhydroxyaryl,  $C_1$ - $C_{10}$  aminoalkyl, mono- or oligosaccharide, peptide with 2 to 30 amino acid units, 20  $(CH_2OCH_2)_b$ - $CH_2$ -CONH-Bm,  $-(CH_2)_a$ -NHCO-Bm,  $-CH_2$ - $(CH_2OCH_2)_b$ - $CH_2$ -NHCO-Bm, -(CH<sub>2</sub>)<sub>a</sub>-OH and -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CO<sub>2</sub>H; Bm and Dm are independently selected from the group consisting of bioactive peptide containing 2 to 30 amino acid units, antibody, mono- or

oligosaccharide, glycopeptide, metal chelating agent, radioactive or

The same of the property of the same

.25

nonradioactive metal domplex, and echogenic agent; a and c independently vary from 1 to 10; b and d independently vary from 1 to 30.

- 3. The compound of claim 2 wherein each of W<sup>5</sup> and X<sup>5</sup> is  $C((CH_2)OH)_2$ ; Y<sup>5</sup> is  $-(CH_2)_2$  CONH-Bm; Z<sup>5</sup> is  $-(CH_2)_2$ -CONH-Dm; A<sub>3</sub> is a single bond; A<sub>3</sub>, B<sub>3</sub>, C<sub>3</sub>, and D<sub>3</sub> together form a 6-membered carbocyclic ring; a<sub>5</sub> is 1; R<sup>58</sup> is galactose; each R<sup>59</sup> to R<sup>66</sup> is hydrogen;
- 5 Bm is Octreotate; Dm is bombesin (7-14).

4. A method for performing a diagnostic or therapeutic procedure comprising

administering to an individual an effective amount of the compound of formula

wherein W5 and X5 are independently selected from the group 5 consisting of -CR<sup>1</sup>R<sup>2</sup>, -O-, -NR<sup>3</sup>, -S-, and -Se; Y<sup>5</sup> is selected from the group consisting of -(CH<sub>2</sub>)<sub>a</sub>-CONH-Bm, -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-CONH- $\mathsf{Bm},\ \mathsf{-(CH_2)_a}\mathsf{-NHCO}\mathsf{-Bm},\ \mathsf{-CH_2}\mathsf{-(CH_2OCH_2)_b}\mathsf{-CH_2}\mathsf{-NHCO}\mathsf{-Bm},\ \mathsf{-(CH_2)_a}\mathsf{-N(R^3)}\mathsf{-}$  $(CH_2)_b$ -CONH-Bm,  $(CH_2^{1})_a$ -N(R<sup>3</sup>)- $(CH_2)_c$ -NHCO-Bm, - $(CH_2)_a$ -N(R<sup>3</sup>)- $CH_2$ - $(CH_2OCH_2)_b - CH_2 - CONH - Bm, -(CH_2)_a - N(R^3) - CH_2 - (CH_2OCH_2)_b - CH_2 - NHCO-$ 10  ${\sf Bm, -CH_2-(CH_2OCH_2)_b-} \\ {\sf CH_2-N(R^3)-(CH_2)_a-CONH-Bm, -CH_2-(CH_2OCH_2)_b-} \\ {\sf CH_2-(CH_2OCH_2)_b-} \\ {\sf CH_2-(CH_2-(CH_2OCH_2)_b-} \\ {\sf CH_2-(CH_2-(CH_2-(CH_2)$  $CH_2-N(R^3)-(CH_2)_a-NHC\dot{\Phi}-Bm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-N(R^3)-CH_2-(CH_2OCH_2)_b$  $(CH_2OCH_2)_d$ -CONH- $Bm_1^1$ - $CH_2$ - $(CH_2OCH_2)_b$ - $CH_2$ - $N(R^3)$ - $CH_2$ - $(CH_2OCH_2)_d$ -NHCO-Bm,  $-(CH_2)_a$ -NR $^3$ R $^4$ , and  $-CH_2(CH_2OCH_2)_b$ -CH $_2$ NR $^3$ R $^4$ ; Z $^5$  is selected from the group consisting of -(CH<sub>2</sub>)<sub>a</sub>-CONH-Dm, -CH<sub>2</sub>-15  $(CH_2OCH_2)_b - CH_2 - CONH_1 - Dm, -(CH_2)_a - NHCO - Dm, -CH_2 - (CH_2OCH_2)_b - CH_2 - (CH_2OCH_2)_b - CH_2 - (CH_2OCH_2)_b - CH_2 - (CH_2OCH_2)_b - CH_2 - (CH_2OCH_2)_b - (CH_2OCH_2)_b$ NHCO-Dm,  $-(CH_2)_a-N(R_2^{13})-(CH_2)_b-CONH-Dm$ ,  $(CH_2)_a-N(R_2^{13})-(CH_2)_c-NHCO-Dm$  $\mathbb{Q}_{2}$   $\mathbb{Q}_{m}$ ,  $\mathbb{Q}_{3}$   $\mathbb{Q}_{a}$   $\mathbb{Q}_{a}$   $\mathbb{Q}_{a}$   $\mathbb{Q}_{b}$   $\mathbb{Q}_{b}$ 

The control of the co

20

25

30

35

40

 $(CH_2OCH_2)_b-CH_2-NHCO-Dm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-N(R^3)-(CH_2)_a-CONH-Dm$ Dm,  $-CH_2-(CH_2OCH_2)_b-CH_2-N(R^3)-(CH_2)_a-NHCO-Dm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-(CH_2O$  $CH_2-N(R^3)-CH_2-(CH_2OCH_2^1)_d-CONH-Dm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-N(R^3)-CH_2-(CH_2OCH_2)_b-CH_2-N(R^3)-CH_2-(CH_2OCH_2)_b-CH_2-N(R^3)-CH_2-(CH_2OCH_2)_b-CH_2-N(R^3)-CH_2-(CH_2OCH_2)_b-CH_2-N(R^3)-CH_2-(CH_2OCH_2)_b-CH_2-N(R^3)-CH_2-(CH_2OCH_2)_b-CH_2-N(R^3)-CH_2-(CH_2OCH_2)_b-CH_2-N(R^3)-CH_2-(CH_2OCH_2)_b-CH_2-N(R^3)-CH_2-(CH_2OCH_2)_b-CH_2-N(R^3)-CH_2-(CH_2OCH_2)_b-CH_2-N(R^3)-CH_2-(CH_2OCH_2)_b-CH_2-N(R^3)-CH_2-(CH_2OCH_2)_b-CH_2-N(R^3)-CH_2-(CH_2OCH_2)_b-CH_2-N(R^3)-CH_2-(CH_2OCH_2)_b-CH_2-N(R^3)-CH_2-(CH_2OCH_2)_b-CH_2-N(R^3)-CH_2-(CH_2OCH_2)_b-CH_2-N(R^3)-CH_2-(CH_2OCH_2)_b-CH_2-N(R^3)-CH_2-(CH_2OCH_2)_b-CH_2-N(R^3)-(R^3)-(R^3)-N(R^3)-(R^3)-N(R^$  $CH_2-(CH_2OCH_2)_d$ -NHCO- $\dot{Q}$ m, - $(CH_2)_a$ -NR<sup>3</sup>R<sup>4</sup>, and - $CH_2(CH_2OCH_2)_b$ - $CH_2NR^3R^4$ ;  $A_3$  is a single or a double bond;  $B_3$ ,  $C_3$ , and  $D_3$  are independently selected from the group consisting of -O-, -S-, -Se-, -P-, -CR<sup>1</sup>R<sup>2</sup>, -CR<sup>1</sup>, alkyl, NR<sup>3</sup>, and -C = O; A<sub>3</sub>, B<sub>3</sub>, C<sub>3</sub>, and D<sub>3</sub> may together form a 6- to 12-membered carbocyclic ring or a 6- to 12-membered heterocyclic ring optionally containing one or more oxygen, nitrogen, or sulfur atom; a<sub>5</sub> vary from 0 to 5; R<sup>1</sup> to R<sup>4</sup>, and R<sup>58</sup> to R<sup>66</sup> are independently selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>10</sub> alkyl,  $C_5$ - $C_{20}$  aryl,  $C_1$ - $C_{10}$  alkoxyl,  $C_1$ - $C_{10}$  polyalkoxyalkyl,  $C_1$ - $C_{20}$ polyhydroxyalkyl, C<sub>5</sub>-C<sub>20</sub> polyhydroxyaryl, C<sub>1</sub>-C<sub>10</sub> aminoalkyl, cyano, nitro, halogen, saccharide, peptide, -CH<sub>2</sub>(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-OH, -(CH<sub>2</sub>)<sub>a</sub>- $CO_2H$ ,  $-(CH_2)_a$ -CONH-Bm,  $-(CH_2)_c$ - $(CH_2OCH_2)_b$ - $CH_2$ -CONH-Bm,  $-(CH_2)_a$ -NHCO-Bm, -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-NHCO-Bm, -(CH<sub>2</sub>)<sub>a</sub>-OH and -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CO<sub>2</sub>H; Bm and Dm are independently selected from the group consisting of bioactive peptide, protein, cell, antibody, antibody fragment, saccharide, glycopeptide, peptidomimetic, drug, drug mimic, hormone, metal chelating agent, radioactive or nonradioactive metal complex, and echogenic agent; a and c independently vary from 1 to 20; b and d independently vary from 1 to 100, and a pharmaceutically acceptable carrier or excipient to form a composition,

The method of claim 4 comprising administering to an individual 5. an effective amount of the compound wherein W5 and X5 are independently selected from the group consisting of -C(CH<sub>3</sub>)<sub>2</sub>,  $-C((CH_2)_3OH)CH_3$ ,  $-C((CH_2)_3OH)_2$ ,  $-C((CH_2)_3CO_2H)CH_3$ ,  $-C((CH_2)_3CO_2H)CH_3$  $_{a}CO_{2}H)_{2}$ ,  $-C((CH_{2})_{a}NH_{2})CH_{3}$ ,  $C((CH_{2})_{a}NH_{2})_{2}$ ,  $C((CH_{2})_{a}NR^{3}R^{4})_{2}$ ,  $-NR^{3}$ , and -S-; Y<sup>5</sup> is selected from the group consisting of -(CH<sub>2</sub>)<sub>a</sub>-CONH-Bm,  $-CH_2-(CH_2OCH_2)_b-CH_2-CONH^{\dagger}_1Bm$ ,  $-(CH_2)_a-NHCO-Bm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2 CH_2$ -NHCO-Bm, - $(CH_2)_a$ -NR<sup>3</sup>R $^{\dagger}$ , and - $CH_2(CH_2OCH_2)_b$ -CH<sub>2</sub>NR<sup>3</sup>R $^{4}$ ; Z<sup>5</sup> is selected from the group consisting of -(CH<sub>2</sub>)<sub>a</sub>-CONH-Dm, -CH<sub>2</sub>- $(CH_2OCH_2)_b$ - $CH_2$ -CONH-Dm,  $-(CH_2)_a$ -NHCO-Dm,  $-CH_2$ - $(CH_2OCH_2)_b$ - $CH_2$ -NHCO-Dm, -(CH<sub>2</sub>)<sub>a</sub>-NR<sup>3</sup>R<sup>4</sup>, and -CH<sub>2</sub>(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>NR<sup>3</sup>R<sup>4</sup>; A<sub>3</sub> is a single or a double bond;  $B_3$ ,  $C_3^1$ , and  $D_3$  are independently selected from the group consisting of -O-, -S<sup>1</sup>-, NR<sup>3</sup>, (CH2)<sub>a</sub> -CR<sup>1</sup>R<sup>2</sup>, and -CR<sup>1</sup>; A<sub>3</sub>, B<sub>3</sub>, C<sub>3</sub>, and D<sub>3</sub> may together form a 6- to 10-membered carbocyclic ring or a 6- to 10-membered heterocyclic ring optionally containing one or more oxygen, nitrogen, or sulfur atom;  $a_5$  vary from 0 to 3;  $R^1$  to  $R^4$ , and R58 to R66 are independently selected from the group consisting of hydrogen,  $C_1$ - $C_{10}$  alkyl,  $C_5$ - $C_{12}$  aryl,  $C_1$ - $C_{10}$  alkoxyl,  $C_1$ - $C_{10}$ polyhydroxyalkyl, C<sub>5</sub>-C<sub>12</sub> polyhydroxyaryl, C<sub>1</sub>-C<sub>10</sub> aminoalkyl, mono- or oligosaccharide, peptide with 2 to 30 amino acid units,

The grade of the property of the control of the con

5

10

15

20

-CH<sub>2</sub>(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-OH, -(CH<sub>2</sub>)<sub>a</sub>-CO<sub>2</sub>H, -(CH<sub>2</sub>)<sub>a</sub>-CONH-Bm, -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-NHCO-Bm, -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-NHCO-Bm, -(CH<sub>2</sub>)<sub>a</sub>-OH and -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CO<sub>2</sub>H; Bm and Dm are independently selected from the group consisting of bioactive peptide containing 2 to 30 amino acid units, antibody, mono- or oligosaccharide, glycopeptide, metal chelating agent, radioactive or nonradioactive metal complex, and echogenic agent; a and c independently vary from 1 to 10; b and d independently vary from 1 to 30.

- 6. The method of claim 5 comprising administering to an individual an effective amount of the compound wherein each W<sup>5</sup> and X<sup>5</sup> is  $C((CH_2)OH)_2$ ; Y<sup>5</sup> is  $-(CH_2)_2$ -CONH-Bm; Z<sup>5</sup> is  $-(CH_2)_2$ -CONH-Dm; A<sub>3</sub> is a single bond; A<sub>3</sub>, B<sub>3</sub>, C<sub>3</sub> and D<sub>3</sub> together form a 6-membered carbocyclic ring; a<sub>5</sub> is 1; R<sup>58</sup> is galactose; each R<sup>59</sup> to R<sup>66</sup> is hydrogen; Bm is Octreotate; Dm is bombesin (7-14).
  - 7. The method of claim 4 wherein said procedure uses light of wavelength in the region of 350-1300 nm.
  - 8. The method of claim 4 wherein the diagnostic procedure is optical tomography.

- 9. The method of claim 4 wherein the diagnostic procedure is fluorescence endoscopy.
- 10. The method of claim 4 further comprising monitoring a blood clearance profile of said compound by fluorescence, absorbance or light scattering wherein light of wavelength in the region of 350-1300 nm is used.
- 11. The method of claim 4 wherein said procedure further comprises a step of imaging and therapy wherein said imaging and therapy is selected from the group consisting of absorption, light scattering, photoacoustic and sonofluoresence technique.
- 12. The method of claim 4 wherein said procedure is for diagnosing atherosclerotic plaques and blood clots.
- 13. The method of claim 4 wherein said procedure comprises administering localized therapy.
- 14. The method of claim 4 wherein said therapeutic procedure comprises photodynamic therapy.

- 15. The method of claim 4 wherein said therapeutic procedure comprises laser assisted guided surgery for the detection of micrometastases.
- 16. The method of claim 4 further comprising adding a biocompatible organic solvent to the at a concentration of one to fifty percent to the composition to prevent *in vivo* or *in vitro* fluorescence quenching.
- 17. The method of claim 16 wherein said compound is dissolved in a medium comprising one to fifty percent dimethyl sulfoxide.

we will and the trade with the first fit for the

18. A composition comprising a cyanine dye bioconjugate of formula

wherein W<sup>5</sup> and X<sup>5</sup> are independently selected from the group consisting of -CR<sup>1</sup>R<sup>2</sup>, -O<sub>1</sub>, -NR<sup>3</sup>, -S-, and -Se; Y<sup>5</sup> is selected from the group consisting of -(CH<sub>2</sub>)<sub>a</sub>-CONH-Bm, -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-CONH-5 Bm,  $-(CH_2)_a$ -NHCO-Bm,  $-(CH_2)_b$ -CH<sub>2</sub>-NHCO-Bm,  $-(CH_2)_a$ -N(R<sup>3</sup>)- $(CH_2)_b$ -CONH-Bm,  $(CH_2)_a$ - $N(R^3)$ - $(CH_2)_c$ -NHCO-Bm,  $-(CH_2)_a$ - $N(R^3)$ - $CH_2$ - $(CH_2OCH_2)_b - CH_2 - CONH - B_{\uparrow \uparrow \uparrow}$ ,  $-(CH_2)_a - N(R^3) - CH_2 - (CH_2OCH_2)_b - CH_2 - NHCO \mathsf{Bm}, \ -\mathsf{CH}_2 - (\mathsf{CH}_2 \mathsf{OCH}_2)_{\mathfrak{b}} - \mathsf{CH}_2 + \mathsf{N}(\mathsf{R}^3) - (\mathsf{CH}_2)_{\mathfrak{a}} - \mathsf{CONH} - \mathsf{Bm}, \ -\mathsf{CH}_2 - (\mathsf{CH}_2 \mathsf{OCH}_2)_{\mathfrak{b}} - \mathsf{CH}_2 - \mathsf{CONH}_2 -$  $CH_2-N(R^3)-(CH_2)_a-NHCO-Bm/, -CH_2-(CH_2OCH_2)_b-CH_2-N(R^3)-CH_2-$ 10  $(CH_2OCH_2)_d$ -CONH-Bm,  $-CH_2$ - $(CH_2OCH_2)_b$ - $CH_2$ - $N(R^3)$ - $CH_2$ - $(CH_2OCH_2)_d$ -NHCO-Bm,  $-(CH_2)_a$ -NR<sup>3</sup>R<sup>4</sup>, and  $-CH_2(CH_2OCH_2)_b$ -CH<sub>2</sub>NR<sup>3</sup>R<sup>4</sup>; Z<sup>5</sup> is selected from the group consisting of -(CH<sub>2</sub>)<sub>a</sub>-CONH-Dm, -CH<sub>2</sub>- $(CH_2OCH_2)_b$ - $CH_2$ -CONH-Dm,  $(CH_2)_a$ -NHCO-Dm,  $(CH_2)_b$ - $(CH_2OCH_2)_b$ - $(CH_2$ NHCO-Dm,  $-(CH_2)_a - N(R^3) - (CH_2)_b - CONH-Dm$ ,  $(CH_2)_a - N(R^3) - (CH_2)_c - NHCO-$ 15 Dm,  $-(CH_2)_a - N(R^3) - CH_2 - (CH_2 \bigcirc CH_2)_b - CH_2 - CONH - Dm$ ,  $-(CH_2)_a - N(R^3) - CH_2 - CONH - Dm$  $(CH_2OCH_2)_b$ - $CH_2$ -NHCO-Dm,  $(CH_2OCH_2)_b$ - $CH_2$ - $N(R^3)$ - $(CH_2)_a$ -CONH-Dm,  $-CH_2-(CH_2OCH_2)_b-CH_2-N(R^3)-(CH_2)_a-NHCO-Dm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-(CH_2O$ 

25

30

35

 $CH_2-N(R^3)-CH_2-(CH_2OCH_2)_{d_1}CONH-Dm$ ,  $-CH_2-(CH_2OCH_2)_{b}-CH_2-N(R^3)-CH_2-(CH_2OCH_2)_{b}-(CH_2OCH_2)_{b}-(CH_2OCH_2)_{b}-(CH_2OCH_2)_{b}-(CH_2OCH_2)_{b}-(CH_2OCH_2)_{b}-(CH_2OCH_2)_{b}-(CH_2OCH_2)_{b}-(CH_2OCH_2)_{b}-(CH_2OCH_2)_{b}-(CH_2OCH_2)_{b}-(CH_2OCH_2)_{b}-(CH_$  $CH_2-(CH_2OCH_2)_d-NHCO-Dm_1/-(CH_2)_a-NR^3R^4$ , and  $-CH_2(CH_2OCH_2)_b CH_2NR^3R^4$ ;  $A_3$  is a single or  $\frac{1}{2}$  double bond;  $B_3$ ,  $C_3$ , and  $D_3$  are independently selected from the group consisting of -O-, -S-, -Se-, -P-, -CR<sup>1</sup>R<sup>2</sup>, -CR<sup>1</sup>, alkyl, NR<sup>3</sup>, and -C = O; A<sub>3</sub>, B<sub>3</sub>, C<sub>3</sub>, and D<sub>3</sub> may together form a 6- to 12-membered carbocyclic ring or a 6- to 12-membered heterocyclic ring optionally containing one or more oxygen, nitrogen, or sulfur atom;  $a_5$  vary from 0 to 5;  $R^1$  to  $R^4$ , and  $R^{58}$  to  $R^{66}$  are independently selected from the group consisting of hydrogen, C1-C10 alkyl,  $C_5$ - $C_{20}$  aryl,  $C_1$ - $C_{10}$  alkoxyl,  $C_1$ - $C_{10}$  polyalkoxyalkyl,  $C_1$ - $C_{20}$ polyhydroxyalkyl, C<sub>5</sub>-C<sub>20</sub> polyhydroxyaryl, C<sub>1</sub>-C<sub>10</sub> aminoalkyl, cyano, nitro, halogen, saccharide, peptide, -CH<sub>2</sub>(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-OH, -(CH<sub>2</sub>)<sub>a</sub>- $CO_2H_1$ ,  $-(CH_2)_a$ -CONH- $Bm_1$ ,  $-CH_2$ - $(CH_2OCH_2)_b$ - $CH_2$ -CONH- $Bm_1$ ,  $-(CH_2)_a$ -NHCO-Bm, -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-NHCO-Bm, -(CH<sub>2</sub>)<sub>a</sub>-OH and -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CO<sub>2</sub>H; Bm an Dm are independently selected from the group consisting of bioactive peptide, protein, cell, antibody, antibody fragment, saccharide, glydopeptide, peptidomimetic, drug, drug mimic, hormone, metal chelating agent, radioactive or nonradioactive metal complex, and echogenic agent; a and c independently vary from 1 to 20; b and d independently vary from 1 to 100, and a pharmaceutically acceptable carrier or excipient.

The composition of claim 18 wherein W<sup>5</sup> and X<sup>5</sup> are 19. independently selected from the group consisting of -C(CH3)2, - $C((CH_2)_3OH)CH_3$ ,  $-C((CH_2^1)_3OH)_2$ ,  $-C((CH_2)_3CO_2H)CH_3$ ,  $-C((CH_2)_3CO_2H)CH_3$  $_{3}CO_{2}H)_{2}$ ,  $_{2}C((CH_{2})_{3}NH_{2})CH_{3}$ ,  $C((CH_{2})_{3}NH_{2})_{2}$ ,  $C((CH_{2})_{3}NR^{3}R^{4})_{2}$ ,  $_{2}NR^{3}R^{4}$ and -S-; Y<sup>5</sup> is selected from the group consisting of -(CH<sub>2</sub>)<sub>a</sub>-CONH-Bm, 5 -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-CONH-Bm, -(CH<sub>2</sub>)<sub>a</sub>-NHCO-Bm, -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>- $CH_2$ -NHCO-Bm, - $(CH_2)_a$ - $NR^3R^4$ , and - $CH_2(CH_2OCH_2)_b$ - $CH_2NR^3R^4$ ;  $Z^5$  is selected from the group consisting of -(CH<sub>2</sub>)<sub>a</sub>-CONH-Dm, -CH<sub>2</sub>- $(CH_2OCH_2)_b$ - $CH_2$ -CONH-Dm,  $-(CH_2)_a$ -NHCO-Dm,  $-CH_2$ - $(CH_2OCH_2)_b$ - $CH_2$ - $(CH_2OCH_2)_b$ -NHCO-Dm,  $-(CH_2)_a$ -NR<sup>3</sup>R<sup>4</sup>, and  $-CH_2(CH_2OCH_2)_b$ -CH<sub>2</sub>NR<sup>3</sup>R<sup>4</sup>; A<sub>3</sub> is a 10 single or a double bond;  $\beta_3$ ,  $C_3$ , and  $D_3$  are independently selected from the group consisting of -0-, -S-, NR<sup>3</sup>, (CH2)<sub>a</sub> -CR<sup>1</sup>R<sup>2</sup>, and -CR<sup>1</sup>; A<sub>3</sub>, B<sub>3</sub>, C<sub>3</sub>, and D<sub>3</sub> may together form a 6- to 10-membered carbocyclic ring or a 6- to 10-membered heterocyclic ring optionally containing one or 15 more oxygen, nitrogen, or sulfur atom; a<sub>5</sub> vary from 0 to 3; R<sup>1</sup> to R<sup>4</sup>, and R58 to R66 are independently selected from the group consisting of hydrogen,  $C_1$ - $C_{10}$  alkyl,  $C_5$ - $C_{12}$  aryl,  $C_1$ - $C_{10}$  alkoxyl,  $C_1$ - $C_{10}$ polyhydroxyalkyl, C<sub>5</sub>-C<sub>12</sub> polyhydroxyaryl, C<sub>1</sub>-C<sub>10</sub> aminoalkyl, mono- or oligosaccharide, peptide with 2 to 30 amino acid units,  $-CH_2(CH_2OCH_2)_b$ - $CH_2$ -OH,  $-(CH_2)_a$ - $CO_2H$ ,  $-(CH_2)_a$ -CONH-Bm,  $-CH_2$ -20  $(CH_2OCH_2)_b$ - $CH_2$ -CONH-Bm,  $(CH_2)_a$ -NHCO-Bm,  $-CH_2$ - $(CH_2OCH_2)_b$ - $CH_2$ -NHCO-Bm, - $(CH_2)_a$ -OH and - $CH_2$ - $(CH_2OCH_2)_b$ - $CO_2H$ ; Bm and Dm are

independently selected from the group consisting of bioactive peptide

- 20. The composition of claim 19 wherein each of W<sup>5</sup> and X<sup>5</sup> is  $C((CH_2)OH)_2$ ; Y<sup>5</sup> is  $-(CH_2)_2$ -CONH-Bm; Z<sup>5</sup> is  $-(CH_2)_2$ -CONH-Dm; A<sub>3</sub> is a single bond; A<sub>3</sub>, B<sub>3</sub>, C<sub>3</sub>, and D<sub>3</sub> together form a 6-membered carbocyclic ring; a<sub>5</sub> is 1; R<sup>58</sup> is galactose; each R<sup>59</sup> to R<sup>66</sup> is hydrogen;
- 5 Bm is Octreotate; Dm is bombesin (7-14).

The first of the first conditions of the first of the fir